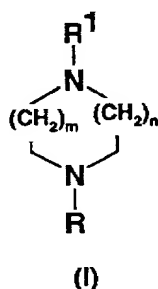


Claims:

1. A compound represented by the general formula

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any of its enantiomers or any mixture thereof, isotopes thereof or a pharmaceutically
10 acceptable salt thereof;

wherein

n is 1, 2 or 3;

m is 0, 1 or 2;

15 R represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aralkyl, alkoxy, alkenoxy, alkenoxy, thioalkenyl, thioalkynyl, selenoalkyl, selenoalkenyl, selenoalkynyl, methylenedioxy, halogen, CF₃, OCF₃, CN, amino, nitro, -COOR³, -CONR²R³, -NH-CO₂R², NHCO-R², -OCO-NR²R³; wherein R² and R³ independently represents hydrogen or alkyl;

or with the proviso that R¹ and R are equal then R is

a **monocyclic 5 to 6 membered heterocyclic group** which may be substituted one or more
20 times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxy, cycloalkoxy, alkenoxy, alkynoxy, thioalkenyl, thioalkynyl, selenoalkyl, selenoalkenyl, selenoalkynyl, methylenedioxy, halogen, CF₃, OCF₃, CN, amino, nitro, -COOR³, -CONR²R³, -NH-CO₂R², NHCO-R², -OCO-NR²R³; wherein R² and R³ independently represents hydrogen or alkyl;

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R¹ represents

a **monocyclic 5 to 6 membered heterocyclic group** which may be substituted one or more
times with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxy, cycloalkoxy, cycloalkoxyalkoxy, alkenoxy, alkynoxy, thioalkenyl, thioalkynyl, selenoalkyl, selenoalkenyl, selenoalkynyl, methylenedioxy, halogen,
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CF_3 , OCF_3 , CN, amino, nitro, $-\text{COOR}^3$, $-\text{CONR}^2\text{R}^3$, $-\text{NH-CO}_2\text{R}^2$, NHCO-R^2 , $-\text{OCO-NR}^2\text{R}^3$;
wherein R^2 and R^3 independently represents hydrogen or alkyl;

aryl optionally substituted one or more times with alkyl, cycloalkyl, cycloalkylalkyl
alkenyl, alkynyl, alkoxy, cycloalkoxy, alkenoxy, alkynoxy, methylenedioxy, halogen, CF_3 ,

5 OCF_3 , CN, amino and nitro;

-X-alkyl-Y-alkyl wherein X and Y independently represents O, S, NH, N-alkyl or
Se; and alkyl is optionally substituted with alkoxy, epoxy or thioalkoxy;

-X-(alk)_o-aryl wherein o is 0 or 1 and alk represents alkyl, alkenyl or alkynyl and X
represents O, S, NH, N-alkyl or Se; aryl is optionally substituted one or more times with alkyl,
10 cycloalkyl, cycloalkylalkyl alkenyl, alkynyl, alkoxy, cycloalkoxy, alkenoxy, alkynoxy,
methylenedioxy, halogen, CF_3 , OCF_3 , CN, amino and nitro;

-X-(alk)_o-Z wherein o is 0 or 1 and alk represents alkyl, alkenyl or alkynyl and X
represents O, S, NH, N-alkyl or Se and Z represents a 5- or 6 - membered monocyclic
heterocyclic group; optionally substituted one or more times with alkyl, cycloalkyl,
15 cycloalkylalkyl alkenyl, alkynyl, alkoxy, cycloalkoxy, alkenoxy, alkynoxy, methylenedioxy,
halogen, CF_3 , OCF_3 , CN, amino and nitro;

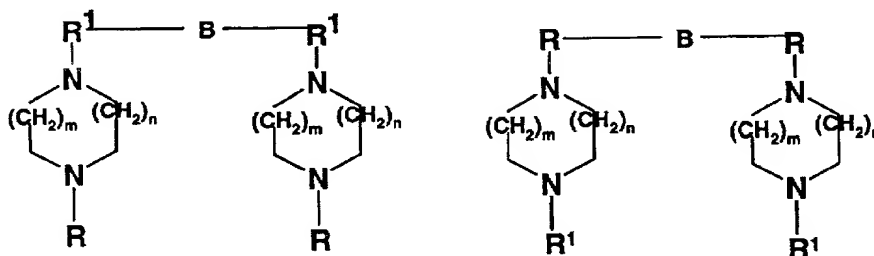
- a monocyclic 5 to 6 membered heterocyclic group optionally substituted one
or more times with alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxy, cycloalkoxy,
alkenoxo, alkynoxy, methylenedioxy, halogen, CF_3 , OCF_3 , CN, amino and nitro;

20 (alk)_o-HET, wherein o is 0 or 1 and alk represents alkyl, alkenyl or alkynyl;

or R^1 represents a **bicyclic heterocyclic group**, composed of a 5 to 6 membered monocyclic
heterocyclic group fused to a benzene ring, and which may be substituted one or more times
with substituents selected from the group consisting of alkyl, cycloalkyl, cycloalkylalkyl

25 alkenyl, alkynyl, alkoxy, alkoxy-alkoxy, cycloalkoxy, alkenoxy, alkynoxy, methylenedioxy,
halogen, CF_3 , OCF_3 , CN, amino, nitro, aryl optionally substituted one or more times with alkyl,
cycloalkyl, cycloalkylalkyl alkenyl, alkynyl, alkoxy, cycloalkoxy, alkenoxy, alkynoxy,
methylenedioxy, halogen, CF_3 , OCF_3 , CN, amino and nitro; and a monocyclic 5 to 6
membered heterocyclic group optionally substituted one or more times with alkyl, cycloalkyl,
30 cycloalkylalkyl alkenyl, alkynyl, alkoxy, cycloalkoxy, alkenoxy, alkynoxy, methylenedioxy,
halogen, CF_3 , OCF_3 , CN, amino and nitro;

Or a compound of the invention is represented by the general formula:



wherein R¹, R, n and m is defined above and B represents a bridging element of the formula:
alk, alk-O-alk, alk-S-alk; wherein alk represents alkyl, alkenyl, alkynyl;

- 5 2. A compound according to claim 1, wherein the group bridging R and R¹ is a piperazine, a homopiperazine, a 1,4-di-azacyclooctane, a 1,5-di-azacyclooctane, a 1,3-diazacyclohexane or an imidazolidine;
3. A compound according to claim 1 wherein R is as defined above and R¹ represents an
10 optionally substituted heteroaryl attached to a piperazine, a homopiperazine, a 1,4-diazacyclooctane, a 1,5-diazacyclooctane, an imidazolidine or a 1,3-diazacyclohexane;
4. A compound according to claim 1 wherein R¹ represents **isoquinolinyl**;
- 15 **pyridyl, quinolinyl, pyridazynyl or pyridinyl** all of which may be optionally substituted one or more times with alkoxy, cycloalkoxy, alkoxyalkoxy, alkoxy-epoxy, alkoxyalkenyl, alkoxyalkynyl, alkynyl, alkenyl, thioalkenyl, selenoalkyl, alkoxycycloalkyl, hydroxyalkoxy, thioalkoxy, thioalkylaryl, alkenoxy, alkynoxy, carboxylamido, a bicyclic heterocyclic group, thioalkoxyaryl, thioaryl, hydroxy or trifluoromethanesulfonyloxy; halogen, phenyl optionally substituted with
20 nitro; a monocyclic 5 to 6 membered heterocyclic group optionally substituted with alkyl;
5. A compound according to claim 1, wherein R¹ represents 5-(1-heptynyl)-3-pyridyl, 5-(1-hexynyl)-3-pyridyl, 5-(1-pentynyl)-3-pyridyl, 5-(1-butylyl)-3-pyridyl, 5-(1-propynyl)-3-pyridyl, 5-ethylenethio-3-pyridyl, 5-(1-propylenethio)-3-pyridyl, 5-(1-butylenethio)-3-pyridyl, 5-(1-pentylenethio)-3-pyridyl, 5-ethyleneseleno-3-pyridyl, 5-(1-propyleneseleno)-3-pyridyl, 5-(1-butyleneseleno)-3-pyridyl, 5-(1-pentyleneseleno)-3-pyridyl, 5-methylseleno-3-pyridyl, 5-ethylseleno-3-pyridyl, 5-propylseleno-3-pyridyl, 5-butylseleno-3-pyridyl, 1-[5-(1-butyl-N-methylamino)-3-pyridyl], 5-(N-azacyclobutenyl)-3-pyridyl, 5-(N-2-pyrrolinyl)-3-pyridine, 5-(N-3-pyrrolinyl)-3-pyridine, 5-N-(1,4,5,6-tetrahydropyridinyl)-3-pyridyl, 5-N-(1,2,5,6-

tetrahydropyridinyl)-3-pyridyl, 5-(homopiperazinyl)-3-pyridyl; 5,6-dibromo-3-pyridyl, 5-bromo-6-chloro-3-pyridyl, 6-bromo-5-chloro-3-pyridyl, 6-bromo-3-pyridyl, 5,6-dichloro-3-pyridyl, 6-fluoro-3-pyridyl, 6-iodo-3-pyridyl, 5-chloro-6-fluoro-3-pyridyl, 5-chloro-6-iodo-3-pyridyl, 5-bromo-6-fluoro-3-pyridyl, 5-bromo-6-iodo-3-pyridyl, 6-fluoro-pyridazine, 6-iodopyridazine; 5-pentyloxy-3-pyridyl, 5-(*trans*-hex-2-en-1-yloxy)-3-pyridyl, 5-butoxy-3-pyridyl, 5-methoxy-3-pyridyl, 5-propyloxy-3-pyridyl, 5-homopiperazinyl-3-pyridyl, 5-ethoxy-3-pyridyl, 5-propyl-1,2-epoxy-1-oxy-3-pyridyl, 5-phenylacetylenyl-3-pyridyl, 5-(2-ethyl-1-butoxy)-3-pyridyl, 5-(1-methyl-1-prop-2-en-oxy)-3-pyridyl, 5-(cyclobutylmethoxy)-3-pyridyl, 5-(hex-2-en-oxy)-3-pyridyl, 5-(2-methyl-1-prop-1-en-oxy)-3-pyridyl, 5-(1-piperidinyl)-3-pyridyl, 5-(N-azacycloheptyl)-3-pyridyl, 5-(N-azacyclooctanyl)-3-pyridyl, 5-(1-morpholinyl)-3-pyridyl;

6. A compound according to claim 1, said compound being:

5-(1-heptynyl)-3-pyridyl-homopiperazine,

5-(1-hexynyl)-3-pyridyl-homopiperazine

15 5-(1-pentynyl)-3-pyridyl-homopiperazine

5-(1-butyryl)-3-pyridyl-homopiperazine

5-(1-propynyl)-3-pyridyl-homopiperazine

5-ethylenethio-3-pyridyl-homopiperazine

5-(1-propylenethio)-3-pyridyl-homopiperazine

20 5-(1-butylenethio)-3-pyridyl-homopiperazine

5-(1-pentylenethio)-3-pyridyl-homopiperazine

5-ethyleneseleno-3-pyridyl-homopiperazine

5-(1-propyleneseleno)-3-pyridyl-homopiperazine

5-(1-butyleneseleno)-3-pyridyl-homopiperazine

25 5-(1-pentyleneseleno)-3-pyridyl-homopiperazine

5-methylseleno-3-pyridyl-homopiperazine

5-ethylseleno-3-pyridyl-homopiperazine

5-propylseleno-3-pyridyl-homopiperazine

5-butylseleno-3-pyridyl-homopiperazine

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5-(1-azacyclobutene)-3-pyridyl-homopiperazine

5-(dihydro-pyrrole)-3-pyridyl-homopiperazine

5-(tetrahydropyridine)-3-pyridyl-homopiperazine

5-(homopiperazine)-3-pyridyl-homopiperazine

- 5,6-dichloro-3-pyridyl-homopiperazine
- 6-fluoro-3-pyridyl-homopiperazine
- 6-iodo-3-pyridyl-homopiperazine
- 5-chloro-6-fluoro-3-pyridyl-homopiperazine
- 5 5-chloro-6-iodo-3-pyridyl-homopiperazine
- 5-bromo-6-fluoro-3-pyridyl-homopiperazine
- 5-bromo-6-iodo-3-pyridyl-homopiperazine
- 6-fluoro-pyridazine-homopiperazine
- 6-iodopyridazine-homopiperazine
- 10 5-pentyloxy-3-pyridyl-homopiperazine
- 5-pentyloxy-3-pyridyl-piperazine
- 5-(*trans*-hex-2-en-1-yloxy)-3-pyridyl-homopiperazine
- 5-(*trans*-hex-2-en-1-yloxy)-3-pyridyl-piperazine
- 5-butoxy-3-pyridyl-1,5-diazacyclooctane
- 15 5-methoxy-3-pyridyl-4-ethyl-piperazine
- 4-methyl-1-(5-propyloxy-3-pyridyl)-piperazine
- 3,5-bis-(N,N'-homopiperazinyl)-pyridine
- 5-ethoxy-3-pyridyl-4-ethyl-homopiperazine
- 5-ethoxy-3-pyridyl-4-propyl-homopiperazine
- 20 5-ethoxy-3-pyridyl-4-(prop-2-en-1-yl)-homopiperazine
- 5-propyl-1,2-epoxy-1-oxy-3-pyridyl-homopiperazine
- 5-phenylacetylenyl-3-pyridyl-homopiperazine
- 1-(5-(2-ethyl-1-butoxy)-3-pyridyl)-homopiperazine
- 1-(5-(1-methyl-1-prop-2-en-oxy)-3-pyridyl)-homopiperazine
- 25 1-(5-(cyclobutylmethoxy)-3-pyridyl)-homopiperazine
- 1-(5-(hex-2-en-oxy)-3-pyridyl)-homopiperazine
- 1-(5-(2-methyl-1-prop-1-en-oxy)-3-pyridyl)-homopiperazine
- 1,4-Bis [5-ethoxy-3-pyridyl] homopiperazine
- 1,4-Bis [5-(1-propyl-1-en-oxy)-3-pyridyl] homopiperazine

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or a pharmaceutically acceptable addition salt thereof;

7. A pharmaceutical composition, comprising a therapeutically effective amount of a compound of any of the claims 1 to 6, or a pharmaceutically acceptable addition salt thereof,
- 35 together with at least one pharmaceutically acceptable carrier or diluent.

8. A compound of any of the claims 1-6 for use as a medicament for treatment of a disease of a living animal body, including a human, which disease is responsive to the activity of nicotinic Ach receptors modulators;

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9. The use of a compound according to any of the claims 1 to 6 for the manufacture of a medicament for the treatment of a disease of a living animal body, including a human, which disease is responsive to the activity of nicotinic ACh receptor modulators.

10 10. The use according to claim 9 wherein the disease to be treated is pain, a disease in the central or peripheral system, a disease caused by smooth muscle contraction, neurodegeneration, inflammation, chemical substance abuse or withdrawal symptoms caused by the cessation of intake of the chemical substance, such as assistance in the cessation of smoking;

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11. The use of a compound according to claim 9 wherein a disease in the central or peripheral system is Alzheimer's disease, Parkinson's disease, memory dysfunction or attention deficit hyperactivity disorder.

20 12. A method of treating a disease of a living animal body, including a human, which disease is responsive to the activity of nicotinic ACh receptor modulators, comprising the step of administering to such a living animal body, including a human, in need thereof a therapeutically effective amount of a compound according to any of the claims 1 to 6.

25 13. A method according to claim 12, wherein pain, a disease in the central or peripheral system, a disease caused by smooth muscle contraction, neurodegeneration, inflammation, chemical substance abuse or withdrawal symptoms caused by the cessation of intake of chemical substances, such as smoking cessation, is treated by administering to a mammal in need thereof a therapeutically effective amount of a compound of any of the claims 1-6;

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14. The method according to claim 12 wherein a disease in the central or peripheral system, said disease being Alzheimer's disease, Parkinson's disease, memory dysfunction or attention deficit hyperactivity disorder, is treated by administering to a mammal in need thereof a therapeutically effective amount a compound of any of the 1-6;

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